

10576095

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

10576095

NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 14:07:55 ON 27 OCT 2008

\Rightarrow

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n) :

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:08:08 ON 27 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4
DICTIONARY FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

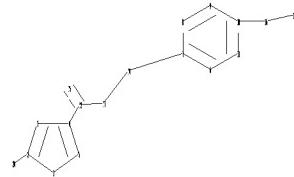
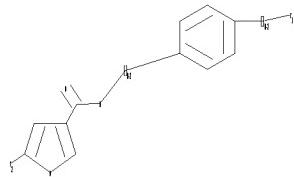
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stn/gen/stndoc/properties.html>

10576095

=>

Uploading C:\Program Files\Stnexp\Queries\10576095.str



chain nodes :
12 13 14 15 16 17 24
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
2-24 4-12 7-14 10-16 12-13 12-15 13-14 16-17
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
2-24 12-13 12-15 13-14 16-17
exact bonds :
1-2 1-5 2-3 3-4 4-5 4-12 7-14 10-16
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

G1:CO2H,COOH,Hy

G2:Ph,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 24:CLASS

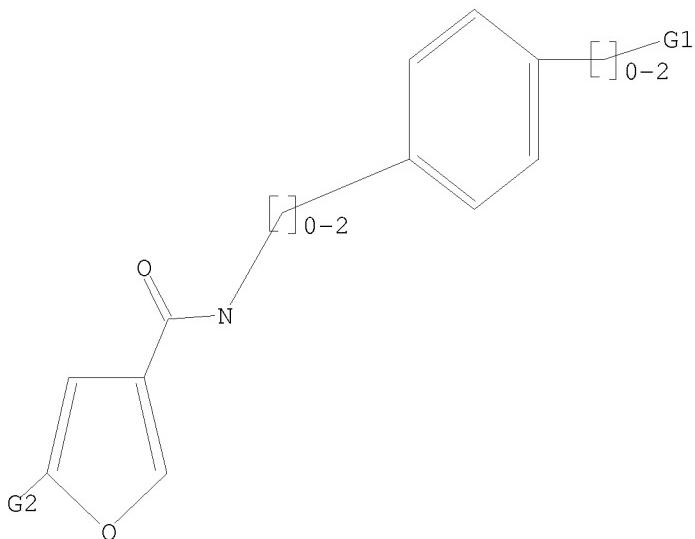
10576095

L1 STRUCTURE UPLOADED

=> D L1

L1 HAS NO ANSWERS

L1 STR



G1 CO₂H, COOH, Hy

G2 Ph, Cy

Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 14:08:26 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 861 TO ITERATE

100.0% PROCESSED 861 ITERATIONS
SEARCH TIME: 00.00.01

2 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 15460 TO 18980
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> S L1 SSS FULL

FULL SEARCH INITIATED 14:08:33 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 17129 TO ITERATE

100.0% PROCESSED 17129 ITERATIONS
SEARCH TIME: 00.00.01

65 ANSWERS

L3 65 SEA SSS FUL L1

10576095

=> FIL HCAPLUS	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	178.36	178.57

FILE 'HCAPLUS' ENTERED AT 14:08:41 ON 27 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18
FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L3
L4 5 L3

=> d 14 ibib abs hitstr tot

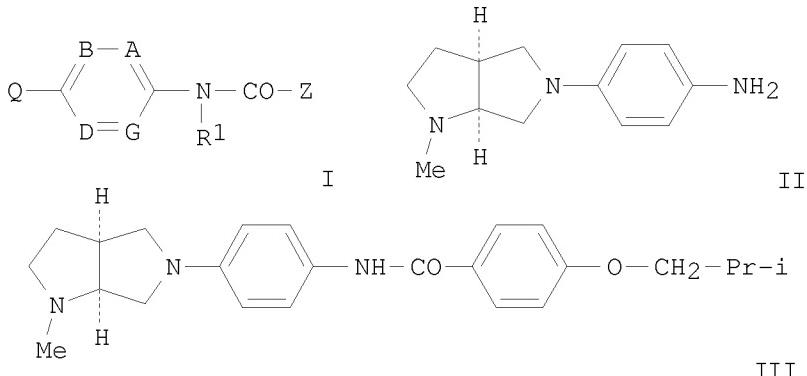
L4 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:164628 HCAPLUS
DOCUMENT NUMBER: 144:253998
TITLE: Preparation of 2,7-diazabicyclo[3.3.0]octanes and related compounds as antiobesity agents
INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Hessler, Gerhard; Lennig, Petra
PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2006018280	A2	20060223	WO 2005-EP8889	20050816
WO 2006018280	A3	20060511		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
DE 102004039789 A1 20060302 DE 2004-102004039789 20040816
AU 2005274314 A1 20060223 AU 2005-274314 20050816
AU 2005274315 A1 20060223 AU 2005-274315 20050816
CA 2577255 A1 20060223 CA 2005-2577255 20050816
CA 2577261 A1 20060223 CA 2005-2577261 20050816
WO 2006018279 A2 20060223 WO 2005-EP8888 20050816
WO 2006018279 A3 20060511
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
EP 1781663 A2 20070509 EP 2005-777343 20050816
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, YU
EP 1781656 A2 20070509 EP 2005-792575 20050816
EP 1781656 B1 20071219
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
CN 101006089 A 20070725 CN 2005-80027915 20050816
CN 101006091 A 20070725 CN 2005-80027948 20050816
AT 381564 T 20080115 AT 2005-792575 20050816
JP 2008509957 T 20080403 JP 2007-526380 20050816
JP 2008509958 T 20080403 JP 2007-526381 20050816
ES 2297758 T3 20080501 ES 2005-792575 20050816
BR 2005014365 A 20080610 BR 2005-14365 20050816
BR 2005014404 A 20080610 BR 2005-14404 20050816
MX 200701478 A 20070419 MX 2007-1478 20070206
MX 200701584 A 20070420 MX 2007-1584 20070208
US 20070299077 A1 20071227 US 2007-674353 20070213
IN 2007CN00667 A 20070824 IN 2007-CN667 20070215
KR 2007046868 A 20070503 KR 2007-703882 20070216
KR 2007053225 A 20070523 KR 2007-703920 20070216
US 20070197584 A1 20070823 US 2007-675646 20070216
IN 2007CN00676 A 20070824 IN 2007-CN676 20070216
NO 2007001271 A 20070316 NO 2007-1271 20070308
DE 2004-102004039789A 20040816
PRIORITY APPLN. INFO.:

OTHER SOURCE(S):
GI

MARPAT 144:253998



AB Title compds. I [Z = X-E-K-R2; A, B, D, G = N, CR3 with provisos; R1 = H, alkyl, alkenyl, etc.; R3 = H, halo, OH, etc.; X = O, bond, ethynyl, etc.; E = 3-14 membered heterocyclic ring with provisos; K = bond, ethynyl, etc.; R2 = H, alkyl, alkenyl, etc.; Q = bi-, tri- or spirocyclic alkane with provisos] and their pharmaceutically acceptable salts were prepared. For example, N-acylation of aniline II with 4-isobutoxybenzoic acid afforded diazabicyclo[3.3.0]octane III. In a milk consumption assay, one example of compound I exhibited 82% reduction versus the control.

IT 877211-29-5P

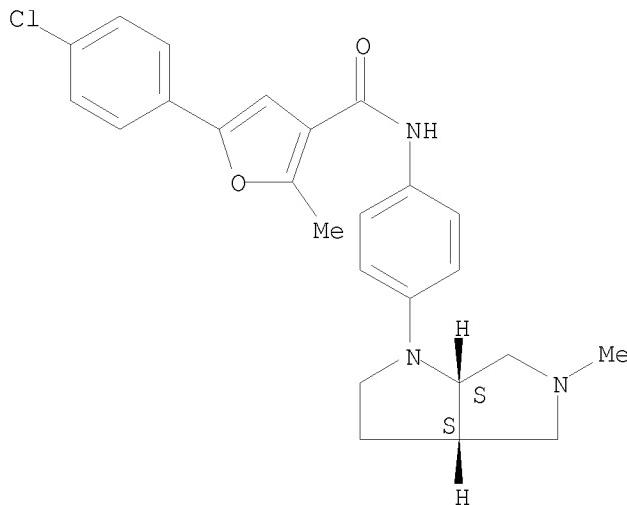
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,7-diazabicyclo[3.3.0]octanes and related compds. as antiobesity agents)

RN 877211-29-5 HCPLUS

CN 3-Furancarboxamide, 5-(4-chlorophenyl)-N-[4-[(3aR,6aR)-hexahydro-5-methylpyrrolo[3,4-b]pyrrol-1(2H)-yl]phenyl]-2-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



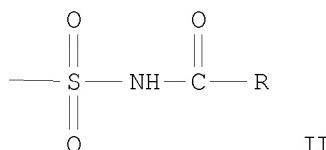
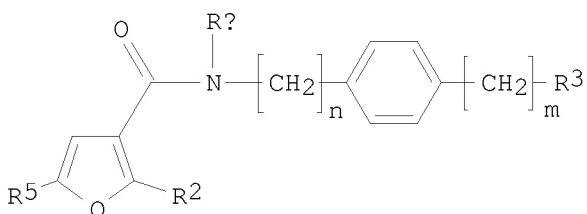
L4 ANSWER 2 OF 5 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:371241 HCPLUS
 DOCUMENT NUMBER: 142:411215
 TITLE: Preparation of furan derivatives as EP4 receptor antagonists
 INVENTOR(S): Clark, David Edward; Harris, Neil Victor; Fenton, Garry; Hynd, George; Stuttle, Keith Alfred James; Sutton, Jonathan Mark; Oxford, Alexander William; Davis, Richard Jon; Coleman, Robert Alexander; Clark, Kenneth Lyle
 PATENT ASSIGNEE(S): Pharmagene Laboratories Limited, UK
 SOURCE: PCT Int. Appl., 67 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037812	A1	20050428	WO 2004-GB4392	20041015
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004281225	A1	20050428	AU 2004-281225	20041015
CA 2542440	A1	20050428	CA 2004-2542440	20041015
US 20050124676	A1	20050609	US 2004-964831	20041015

US 7417068	B2	20080826		
EP 1673360	A1	20060628	EP 2004-768922	20041015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1894231	A	20070110	CN 2004-80037433	20041015
JP 2007508364	T	20070405	JP 2006-534829	20041015
US 20070135503	A1	20070614	US 2006-576095	20060414
IN 2006DN02280	A	20070810	IN 2006-DN2280	20060425
NO 2006002187	A	20060707	NO 2006-2187	20060515
PRIORITY APPLN. INFO.:				
GB 2003-24269 A 20031016				
US 2003-512200P P 20031020				
WO 2004-GB4392 W 20041015				

OTHER SOURCE(S): CASREACT 142:411215; MARPAT 142:411215

GI



AB Title compds. I [R2, R5 = H, (un)substituted alkyl, (un)substituted aryl, etc.; m, n = 0, 1, m + n = 1, 2; RN = H, (un)substituted alkyl; R3 = II, carboxy, tetrazol-5-yl, etc.; R = (un)substituted alkyl, (un)substituted aryl, NRN3RN4; RN3, RN4 = (un)substituted alkyl] and their salts were prepared. For example, Pd catalyzed coupling reaction of {4-[(5-bromofuran-3-carbonyl)amino]phenyl}acetic acid Et ester, e.g., prepared from furan-3-carboxylic acid in 2 steps, with phenylboronic acid followed by hydrolysis using NaOH afforded compound I [R2 = H; R5 = phenyl; R3 = CO2H; RN = H; n = 0; m = 1]. In EP4 receptor binding assays, the pKi value of compound I [R2 = H; R5 = phenyl; R3 = CO2H; RN = H; n = 0; m = 1] was >6. Compds. I are claimed useful for the treatment of headache, migraine.

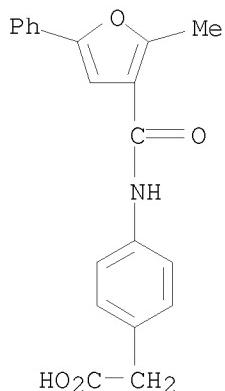
IT 352338-59-1P 850553-45-6P 850553-47-8P
850553-50-3P 850553-53-6P 850553-58-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of furan derivs. as EP4 receptor antagonists for treatment of headache, migraine)

10576095

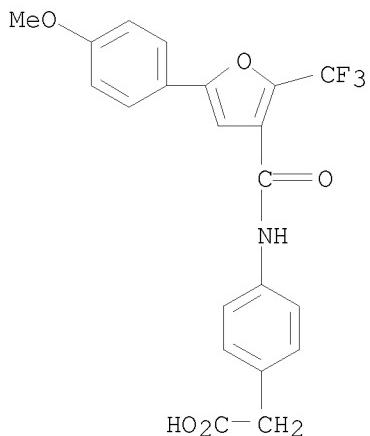
RN 352338-59-1 HCAPLUS

CN Benzeneacetic acid, 4-[[[2-methyl-5-phenyl-3-furanyl]carbonyl]amino]- (CA INDEX NAME)



RN 850553-45-6 HCAPLUS

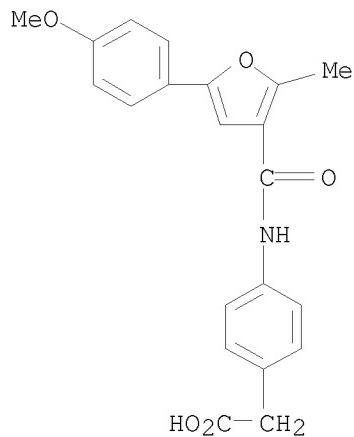
CN Benzeneacetic acid, 4-[[[5-(4-methoxyphenyl)-2-(trifluoromethyl)-3-furanyl]carbonyl]amino]- (CA INDEX NAME)



RN 850553-47-8 HCAPLUS

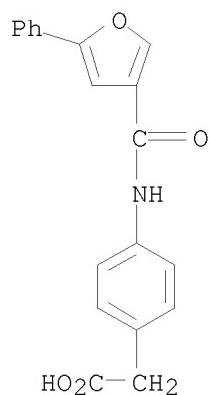
CN Benzeneacetic acid, 4-[[[5-(4-methoxyphenyl)-2-methyl-3-furanyl]carbonyl]amino]- (CA INDEX NAME)

10576095



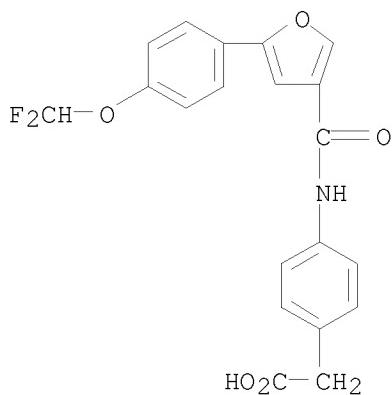
RN 850553-50-3 HCAPLUS

CN Benzeneacetic acid, 4-[(5-phenyl-3-furanyl)carbonyl]amino]- (CA INDEX NAME)



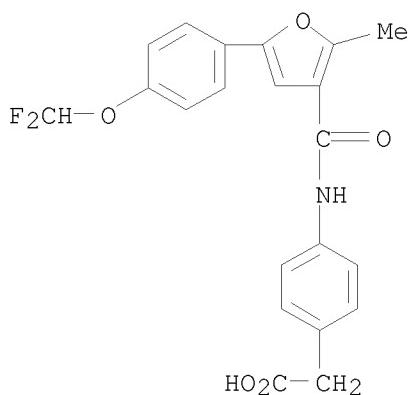
RN 850553-53-6 HCAPLUS

CN Benzeneacetic acid, 4-[(5-[4-(difluoromethoxy)phenyl]-3-furanyl)carbonyl]amino]- (CA INDEX NAME)



RN 850553-58-1 HCAPLUS

CN Benzeneacetic acid, 4-[[5-[4-(difluoromethoxy)phenyl]-2-methyl-3-furanyl]carbonyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:696342 HCAPLUS

DOCUMENT NUMBER: 141:225302

TITLE: Preparation of N-arylheterocycles as melanin concentrating hormone (MCH) antagonists.

INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Boehme, Thomas; Hessler, Gerhard; Stahl, Petra; Gretzke, Dirk

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany; Aventis Pharma GmbH

SOURCE: PCT Int. Appl., 390 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

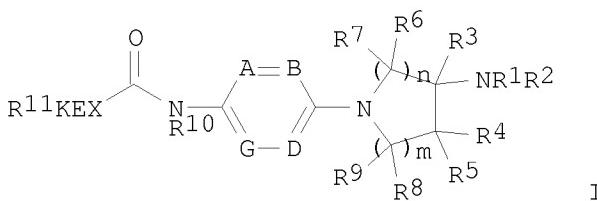
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072025	A2	20040826	WO 2004-EP1342	20040213
WO 2004072025	A3	20041223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10306250	A1	20040909	DE 2003-10306250	20030214
AU 2004212145	A1	20040826	AU 2004-212145	20040213
CA 2516118	A1	20040826	CA 2004-2516118	20040213
EP 1597228	A2	20051123	EP 2004-710808	20040213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007504	A	20060214	BR 2004-7504	20040213
CN 1774418	A	20060517	CN 2004-80009860	20040213
JP 2006517563	T	20060727	JP 2006-501827	20040213
US 20040220191	A1	20041104	US 2004-779853	20040217
US 7223788	B2	20070529		
MX 2005PA08449	A	20060525	MX 2005-PA8449	20050810
ZA 2005006369	A	20060726	ZA 2005-6369	20050810
IN 2005CN01902	A	20070406	IN 2005-CN1902	20050811
NO 2005004220	A	20051028	NO 2005-4220	20050912
US 20070207991	A1	20070906	US 2007-622028	20070111
PRIORITY APPLN. INFO.:			DE 2003-10306250	A 20030214
			US 2003-488545P	P 20030718
			WO 2004-EP1342	A 20040213
			US 2004-779853	A1 20040217

OTHER SOURCE(S) :

MARPAT 141:225302

GI



AB Title compds. [I; R1, R2 = H, alkyl, alkoxyalkyl, aryloxyalkyl, alkylcarbonyl, alkenylcarbonyl, etc.; R1R2N = atoms to form a 4-10 membered mono-, bi-, or spirocyclic (substituted) ring; R3 = H, alkyl; R4, R5 = H, alkyl, OH, alkoxy, alkylcarbonyloxy, alkylthio; R6-R9 = H, alkyl; R6R7, R8R9 = O; A, B, D, G = N, CR42; AB, DG = CR42; R42 = H, F, Cl, Br, iodo, CF3, NO2, cyano, OCF3, alkoxy, alkylthio, alkenyl, cycloalkyl, cycloalkoxy, cycloalkenyl, alkynyl, CO2H, etc.; R10 = H, alkyl, alkenyl, alkynyl; X = NR52, O, bond, C:C, C.tplbond.C, etc.; R52 = H, alkyl; E = (substituted) C3-14 carbocyclyl, heterocyclyl; K = bond, O, CH2O, S, SO, CO, C:C, C.tplbond.C, etc.; R11 = H, alkyl, alkoxyalkyl, alkenyl, alkynyl,

3-10 membered (substituted) mono-, bi-, tri- or spirocyclic ring; EKR11 = (unsatd.) tricyclic ring; m, n = 0-2], were prepared. Thus, N-[1-(4-aminophenyl)pyrrolidin-3-yl]piperidine was treated with carbonyldimidazole and then with 4-(4-chlorophenyl)piperidine to give 4-(4-chlorophenyl)piperidine-1-carboxylic acid [4-[3-(acetyl methylamino)pyrrolidin-1-yl]phenyl]amide. The latter at 30 mg/kg orally in female NMRI mice reduced milk consumption by 64%.

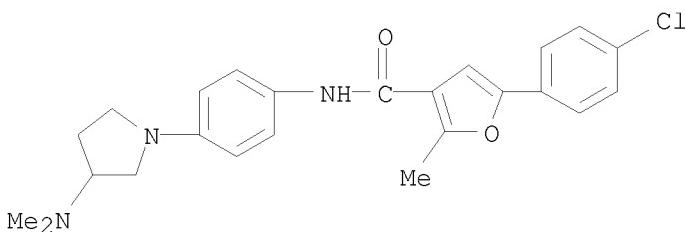
IT 748174-50-7P 748175-30-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryl heterocycles as MCH antagonists)

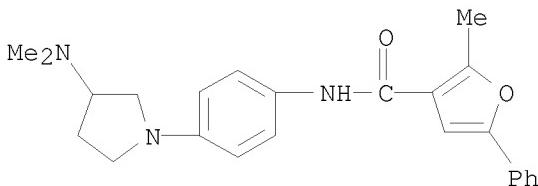
RN 748174-50-7 HCPLUS

CN 3-Furancarboxamide, 5-(4-chlorophenyl)-N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 748175-30-6 HCPLUS

CN 3-Furancarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-2-methyl-5-phenyl- (CA INDEX NAME)



L4 ANSWER 4 OF 5 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:200984 HCPLUS

DOCUMENT NUMBER: 136:386363

TITLE: Acylation of amino acids with furancarboxylic acid chlorides

AUTHOR(S): Lapina, I. M.; Pevzner, L. M.

CORPORATE SOURCE: St. Petersburg Institute of Technology, St. Petersburg, Russia

SOURCE: Russian Journal of General Chemistry (Translation of Zhurnal Obshchey Khimii) (2001), 71(9), 1479-1483

CODEN: RJGCEK; ISSN: 1070-3632

PUBLISHER: MAIK Nauka/Interperiodica Publishing

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:386363

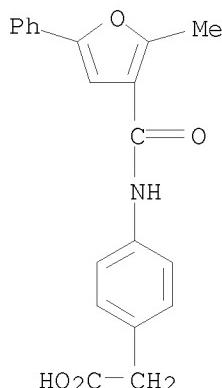
AB Acylation of aromatic amino acids with furancarboxylic acid chlorides effectively proceeded in water-acetone medium at pH 8-9. Aliphatic amino acids are acylated at higher pH values, but under these conditions hydrolysis of the acid chlorides became the main process. Acylation of HCl salts of aliphatic amino acid Me esters proceeded smoothly in chloroform in the presence of triethylamine. Alkaline hydrolysis of the resulting products gave the N-(furancarbonyl)amino acids.

IT 352338-59-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (furancarbonyl)amino acids via acylation of amino acids with furancarboxylic acid chlorides)

RN 352338-59-1 HCPLUS

CN Benzeneacetic acid, 4-[[2-methyl-5-phenyl-3-furanyl]carbonyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:658115 HCPLUS

DOCUMENT NUMBER: 133:238010

TITLE: Preparation of pyrazole derivatives as blockers of calcium release-activated calcium channel (CRACC)

INVENTOR(S): Kubota, Koichi; Yoshimura, Noriko; Okamoto, Yoshinori; Yonetoku, Yasuhiro; Naito, Makoto; Ishikawa, Atsushi; Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

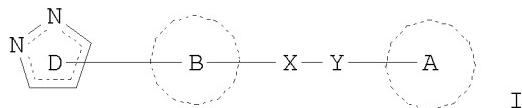
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000256358	A	20000919	JP 1999-62900	19990310
PRIORITY APPLN. INFO.:			JP 1999-62900	19990310
OTHER SOURCE(S):	MARPAT	133:238010		
GI				



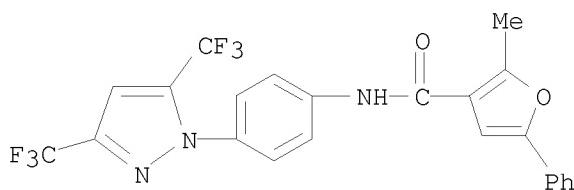
AB The title compds. (I; ring D = pyrazolyl optionally substituted with 1-3 substituents selected from lower alkyl, alkenyl, alkynyl, or haloalkyl, lower alkylene-cycloalkyl, lower alkylene-O-lower alkyl, cycloalkyl, O-lower alkyl, CO₂H, lower alkoxy carbonyl, and halo; ring B = phenylene or optionally lower-substituted bivalent monocyclic aromatic heterocyclic ring; X = NR₁CO, CONR₁, NR₁SO₂, SO₂NR₁; wherein R₁ = H, OH, lower alkyl, O-lower alkyl, lower alkyl-carbonyl; Y = bond, CO, lower alkylene, or lower alkenylene; ring A = Ph having at least one substituent selected from HO, O-lower alkyl, and F, or optionally substituent mono-, bi-, or tricyclic condensed heteroaryl; provided that when Y is a bond, ring A represents a group other than heteroaryl selected from thieryl, pyrrolyl, imidazolyl, thiazolyl, oxazolyl, thiadiazolyl, pyridyl, pyrazinyl, and isoquinolyl) and pharmaceutically acceptable salts thereof are prepared. These compds. exhibit the inhibitory activity against CRACC and the production of interleukin-2 and are useful for the prevention or treatment of allergies, inflammations, and autoimmune diseases. Thus, 2,1,3-benzoxadiazole-5-carbonyl chloride and Et₃N were successively added to a mixture of 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline and CH₂C₁₂ and stirred at room temperature for 8.5 h to give N-[(2,1,3-benzoxadiazol-5-yl)carbonyl]-4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline. Preferred compds. I inhibited thapsigargin-stimulated increase in calcium concentration with IC₅₀ of ≤1 μM and the production of interleukin-2 with IC₅₀ of ≤0.1 μM in Jurkat cell.

IT 292610-72-1P 292610-84-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole derivs. as blockers of calcium release-activated calcium channel and inhibitors of interleukin-2 production)

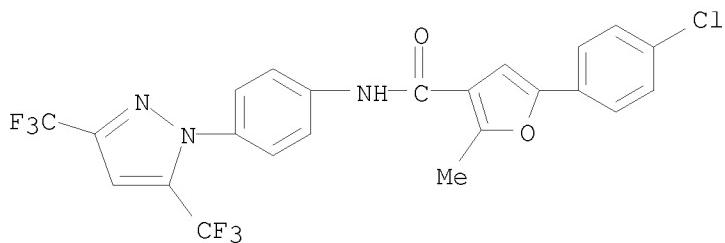
RN 292610-72-1 HCAPLUS

CN 3-Furancarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-methyl-5-phenyl- (CA INDEX NAME)



RN 292610-84-5 HCAPLUS

CN 3-Furancarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-(4-chlorophenyl)-2-methyl- (CA INDEX NAME)



=> FILE REGISTRY
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	43.39	221.96

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.00	-4.00

FILE 'REGISTRY' ENTERED AT 14:12:01 ON 27 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4
DICTIONARY FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10576095A.str

10576095



chain nodes :
12 13 14 15 16 17
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
4-12 7-14 10-16 12-13 12-15 13-14 16-17
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
12-13 12-15 13-14 16-17
exact bonds :
1-2 1-5 2-3 3-4 4-5 4-12 7-14 10-16
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

G1:CO2H,COOH,Hy

G2:Ph,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

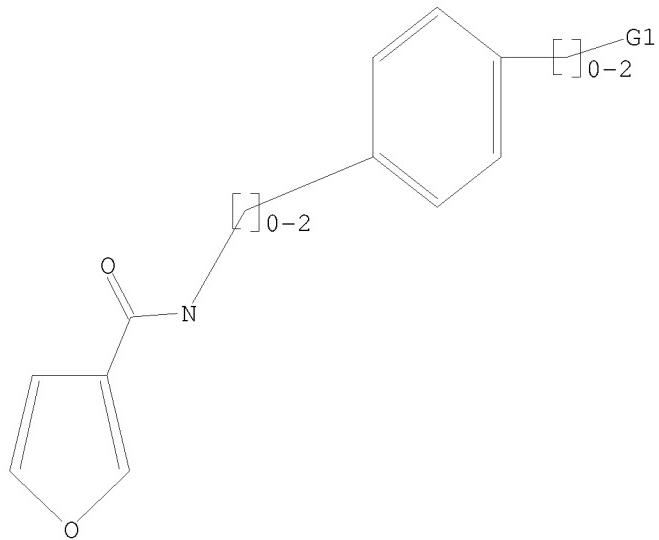
L5 STRUCTURE UPLOADED

=> D L5
L5 HAS NO ANSWERS

10576095

L5

STR



G1 CO₂H, COOH, Hy

G2 Ph,Cy

Structure attributes must be viewed using STN Express query preparation.

=> S L5

SAMPLE SEARCH INITIATED 14:12:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 861 TO ITERATE

100.0% PROCESSED 861 ITERATIONS
SEARCH TIME: 00.00.01

14 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 15460 TO 18980
PROJECTED ANSWERS: 56 TO 504

L6 14 SEA SSS SAM L5

=> S L5 SSS FULL
FULL SEARCH INITIATED 14:12:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17129 TO ITERATE

100.0% PROCESSED 17129 ITERATIONS 323 ANSWERS
SEARCH TIME: 00.00.01

L7 323 SEA SSS FUL L5

=> FIL HCPLUS
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
178.36 400.32

10576095

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-4.00

FILE 'HCAPLUS' ENTERED AT 14:12:34 ON 27 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18
FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L7
L8 55 L7

=> S L8 AND PY<=2003
24009871 PY<=2003
L9 30 L8 AND PY<=2003

=> S L9 AND EP4 RECEPTOR
1396 EP4
776000 RECEPTOR
714742 RECEPTORS
928462 RECEPTOR
(RECEPTOR OR RECEPTORS)
640 EP4 RECEPTOR
(EP4(W)RECEPTOR)
L10 0 L9 AND EP4 RECEPTOR

=> S L9 AND RECEPTOR
776000 RECEPTOR
714742 RECEPTORS
928462 RECEPTOR
(RECEPTOR OR RECEPTORS)
L11 19 L9 AND RECEPTOR

=> S L11 AND ANTAGONISTS

10576095

135446 ANTAGONISTS
L12 18 L11 AND ANTAGONISTS

=> S L12 AND EP4
1396 EP4
L13 0 L12 AND EP4

=> S L12 AND P/DT
6415072 P/DT
L14 16 L12 AND P/DT

=> S L14 AND US/PC
1855297 US/PC
L15 11 L14 AND US/PC

=> d l15 ibib abs hitstr tot

L15 ANSWER 1 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:31421 HCPLUS
DOCUMENT NUMBER: 136:102400
TITLE: Preparation of nonpeptide substituted
spirobenzoazepines as vasopressin antagonists
INVENTOR(S): Chen, Robert H.; Xiang, Min A.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002531	A1	20020110	WO 2001-US21080	20010702 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2413945	A1	20020110	CA 2001-2413945	20010702 <--
US 20030045517	A1	20030306	US 2001-897206	20010702 <--
US 7001898	B2	20060221		
EP 1307430	A1	20030507	EP 2001-950821	20010702 <--
EP 1307430	B1	20050928		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012372	A	20030722	BR 2001-12372	20010702 <--
HU 2003001590	A2	20030929	HU 2003-1590	20010702 <--
HU 2003001590	A3	20050128		
JP 2004502677	T	20040129	JP 2002-507788	20010702
NZ 523450	A	20041126	NZ 2001-523450	20010702
AT 305454	T	20051015	AT 2001-950821	20010702
ES 2250432	T3	20060416	ES 2001-950821	20010702

AU	2001271780	B2	20060914	AU	2001-271780	20010702
NO	2003000028	A	20030303	NO	2003-28	20030103 <--
NO	324499	B1	20071029			
MX	2003PA00135	A	20050217	MX	2003-PA135	20030107
ZA	2003000972	A	20040504	ZA	2003-972	20030204
US	20060111567	A1	20060525	US	2003-440914	20030519 <--
US	7238687	B2	20070703			
US	20070135409	A1	20070614	US	2006-549678	20061016 <--
US	20070117790	A1	20070524	US	2006-564471	20061129 <--
US	7365062	B2	20080429			

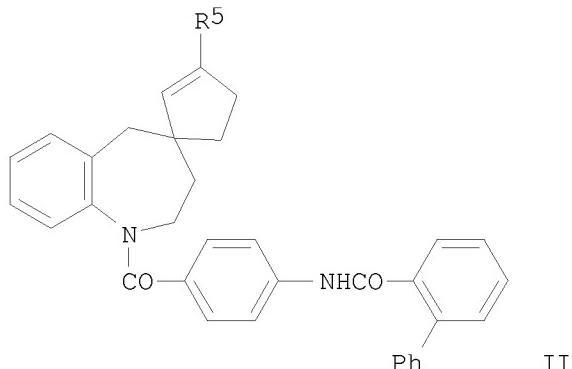
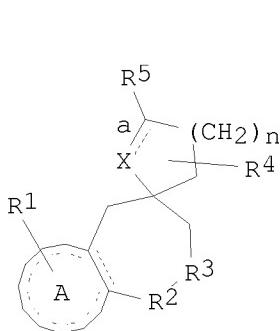
PRIORITY APPLN. INFO.:

US	2000-216220P	P	20000705
US	2001-897206	A3	20010702
WO	2001-US21080	W	20010702
US	2003-440914	A3	20030519

OTHER SOURCE(S) :

MARPAT 136:102400

GI



AB The invention is directed to nonpeptide substituted benzodiazepines of formula [I; R1 is one to three members independently selected from H, halo, (un)substituted NH2, HO, alkyloxy, Ph, substituted Ph, alkylthio, arylthio, alkylsulfoxide, arylsulfoxide, alkylsulfone, and arylsulfone; R2-R3 = N(COR')-CH2 or CH2-N(COR') (wherein R' = (un)substituted alkyl, Ph, or heteroaryl, etc.); R4 is one or two members independently selected from the group consisting of H, and (un)substituted alkyl and phenyl; R5 = H, alkyl, substituted alkyl, aldehyde, carboxy, (un)substituted alkoxy carbonyl, (CH2)_kNZ1Z2 and CONZ1Z2 (wherein k = an integer from 1-4; Z1, Z2 = H, (un)substituted alkyl, heterocyclyl, or aminocarbonyl or N, Z1 and Z2 together form (un)substituted heterocyclyl or substituted heteroaryl); a represents a single or double bond provided that when R1 is iodine, bromine, alkylthio, arylthio, alkylsulfone, or arylsulfone, a is a double bond; A = aryl, naphthyl, heteroaryl; X = CH, CH2, CHO, CO; and n = 1, 2, or 3] or optical isomers, enantiomers, diastereomers, or racemates thereof, or pharmaceutically acceptable salts thereof. These compds. are useful as vasopressin receptor antagonists for treating conditions associated with vasopressin receptor activity such as those involving increased vascular resistance and cardiac insufficiency. Also claimed are pharmaceutical compns. comprising a compound of formula I and methods of treating conditions such as inner ear

disorders, hypertension, congestive heart failure, cardiac insufficiency, coronary vasospasm, cardiac ischemia, liver cirrhosis, renal vasospasm, renal failure, cerebral edema and ischemia, stroke, thrombosis, water retention, aggression, obsessive-compulsive disorders, dysmenorrhea, nephrotic syndrome, and central nervous injuries. Thus, NaBH₄ reduction of 3'-formyl-4-aza-[6,4]-spiro-[5,6]-benzoundec-2'-ene derivative (II; R₅ = CHO) gave II (R₅ = CH₂OH) (III). III and II (R₅ = CONHCH₂CH₂NMe₂) in vitro showed IC₅₀ of <0.01 and 0.002 μM, resp., for inhibiting the vasopressin-stimulated increase in intracellular calcium mobilization in HEK-293 cell line expressing human V_{1a} receptor.

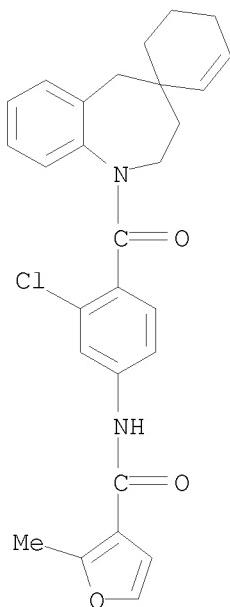
IT 388599-75-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nonpeptide substituted spirobenzoazepines as vasopressin antagonists for therapeutic agents)

RN 388599-75-5 HCPLUS

CN 3-Furancarboxamide, N-[3-chloro-4-[(1,2,3,5-tetrahydrospiro[4H-1-benzazepine-4,1'-[2]cyclohexen]-1-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:911255 HCPLUS

DOCUMENT NUMBER: 134:71585

TITLE: Indoloazepines as vasopressin receptor antagonists

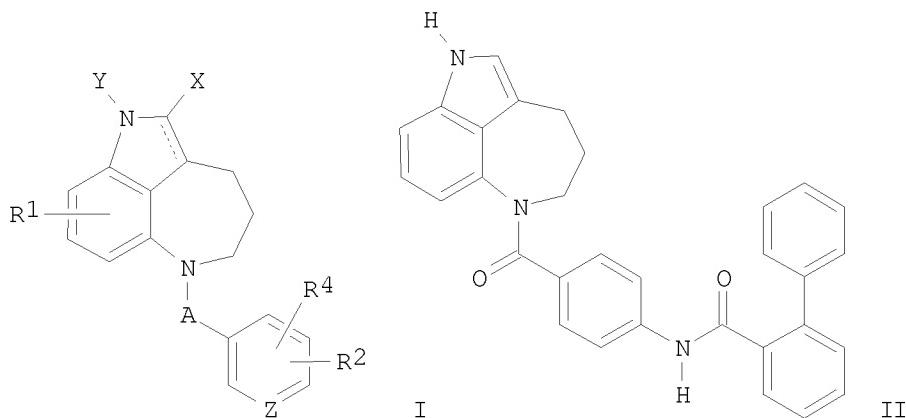
INVENTOR(S): Hoekstra, William J.; Greco, Michael N.; Hecker, Leonard R.; Maryanoff, Bruce; Matthews, Jay M.

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA; Cor Therapeutics, Inc.

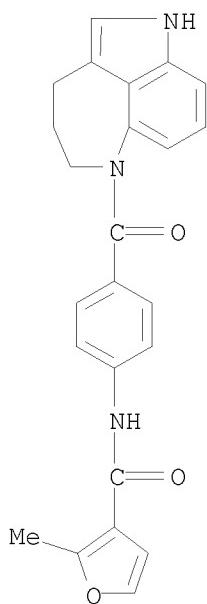
SOURCE: PCT Int. Appl., 40 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078762	A1	20001228	WO 2000-US16549	20000615 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6765004	B1	20040720	US 2000-592520	20000612 <--
CA 2375268	A1	20001228	CA 2000-2375268	20000615 <--
EP 1214323	A1	20020619	EP 2000-941464	20000615 <--
EP 1214323	B1	20041117		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000012294	A	20020702	BR 2000-12294	20000615 <--
HU 2002001725	A2	20021028	HU 2002-1725	20000615 <--
HU 2002001725	A3	20030929		
JP 2003502426	T	20030121	JP 2001-504928	20000615 <--
NZ 516209	A	20040130	NZ 2000-516209	20000615
AU 774768	B2	20040708	AU 2000-56170	20000615
AT 282621	T	20041215	AT 2000-941464	20000615
PT 1214323	T	20050228	PT 2000-941464	20000615
ES 2233402	T3	20050616	ES 2000-941464	20000615
NO 2001006155	A	20020215	NO 2001-6155	20011217 <--
MX 2001PA13286	A	20030820	MX 2001-PA13286	20011218 <--
IN 2001KN01342	A	20050311	IN 2001-KN1342	20011219
ZA 2002000401	A	20030416	ZA 2002-401	20020116 <--
PRIORITY APPLN. INFO.:			US 1999-139628P	P 19990617
			US 2000-592520	A 20000612
			WO 2000-US16549	W 20000615

OTHER SOURCE(S): MARPAT 134:71585
 GI



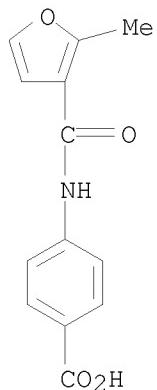
- AB** The invention is directed to tricyclic indoloazepine compds. I [A = CO, SO₂ or CH₂; dotted line = optional pi bond; X = H, halo, acyl, alkyl, aralkyl, alkylsulfonyl, arylsulfonyl, alkylaminoalkyl, SO₃H, or oxo; Y = H, alkyl, aralkyl, alkylcarbonyl, alkoxy carbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, or alkylaminocarbonyl; Z = N or CH; R1 = H, alkyl, alkoxy, halo, aminoalkyl, or NO₂; R2 = H, NR₃CO-Ar, NR₃CO-HetAr, NR₃-Ar, CH:CH-Ar, CF:CH-Ar, CH:CF-Ar, CC₁:CH-Ar, CH:CC₁-Ar, CH:CH-HetAr, CF:CH-HetAr, CH:CF-HetAr, CCl:CH-HetAr, OCH₂-Ar, etc.; Ar = (un)substituted aryl; HetAr = (un)substituted heteroaryl; R3 = H, alkyl; R4 = H, alkyl, alkoxy, halo, fluoroalkyl, fluoroalkoxy] and their pharmaceutically acceptable salts. Also claimed are pharmaceutical compns. comprising the compds., and methods of treating various conditions using them. In particular, the compds. are useful as vasopressin receptor antagonists, used for treating a variety of conditions involving increased vascular resistance and cardiac insufficiency which include hypertension, congestive heart failure, cardiac insufficiency, coronary vasospasm, cardiac ischemia, liver cirrhosis, renal vasospasm, renal failure, cerebral edema and ischemia, stroke, thrombosis, and water retention. Ten specific synthesized examples are given. For instance, the precursor lactam 3,4,5,6-tetrahydro-1H-azepino[4,3,2-cd]indol-5-one was reduced with LiAlH₄ to give the corresponding cyclic amine, which was amidated with the acid chloride derived from 4-[(2-biphenylcarbonyl)amino]benzoic acid to give title compound II. At 10 mg/kg/h i.d. in anesthetized rats, II reduced vasopressin-induced hypertension by 17%. In vitro bindings to V-1 and V-2 receptors were also determined
- IT** 314746-00-4P, 6-[4-[(2-Methyl-3-furanyl)carbonyl]amino]benzoyl]-3,4,5,6-tetrahydro-1H-azepino[4,3,2-cd]indole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indoloazepines as vasopressin receptor antagonists)
- RN** 314746-00-4 HCPLUS
- CN** 3-Furancarboxamide, 2-methyl-N-[4-[(1,3,4,5-tetrahydro-6H-pyrrolo[4,3,2-ef][1]benzazepin-6-yl)carbonyl]phenyl]- (CA INDEX NAME)



IT 314746-09-3, 4-[(2-Methyl-3-furanyl)carbonyl]amino]benzoic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (starting material; preparation of indoloazepines as vasopressin receptor antagonists)

RN 314746-09-3 HCAPLUS

CN Benzoic acid, 4-[(2-methyl-3-furanyl)carbonyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:460419 HCAPLUS

DOCUMENT NUMBER: 131:87922

TITLE: Nitrogen-containing heterocyclic compounds, including imidazopyrimidines, and their production and use as GnRH antagonists

INVENTOR(S): Furuya, Shuichi; Imaeda, Toshihiro; Sasaki, Satoshi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 152 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

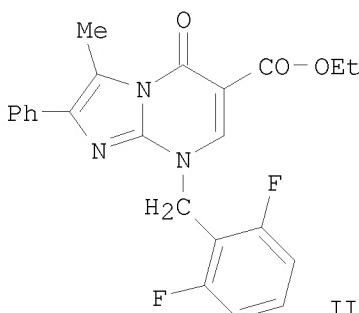
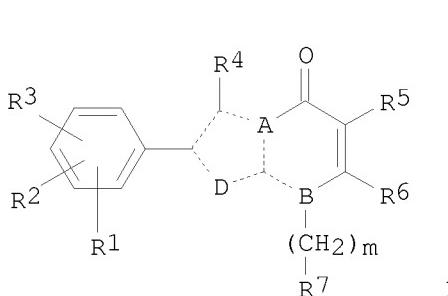
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933831	A1	19990708	WO 1998-JP5841	19981224 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2300479	A1	19990708	CA 1998-2300479	19981224 <--
AU 9916871	A	19990719	AU 1999-16871	19981224 <--
EP 1042325	A1	20001011	EP 1998-961503	19981224 <--
EP 1042325	B1	20050921		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 305007	T	20051015	AT 1998-961503	19981224
JP 11315079	A	19991116	JP 1998-368832	19981225 <--
US 6194419	B1	20010227	US 1999-147616	19990202 <--
US 6413972	B1	20020702	US 2000-711139	20001114 <--
US 20020103210	A1	20020801	US 2002-42229	20020111 <--
US 6962919	B2	20051108		
PRIORITY APPLN. INFO.:				
			JP 1997-358998	A 19971226
			JP 1998-54022	A 19980305
			WO 1998-JP5841	W 19981224
			US 1999-147616	A3 19990202
			US 2000-711139	A3 20001114

OTHER SOURCE(S): MARPAT 131:87922

GI



AB Title compds. I [wherein one of A and D is N and the other is C, or both are N; B is N or C; m is 0-3; R1, R2 and R3 are each (i) H or (ii) a group bound via C, N, O or S; R4 is a group bound via C; R5 is H or a group bound via C or O; R6 is H or a group bound via C; R7 is a homo- or

heterocyclic group which may be substituted] and salts possess excellent gonadotropin-releasing hormone (GnRH) antagonizing activity, and are useful as prophylactic or therapeutic agents for sex hormone-dependent diseases, including cancer. Thus, title compound II is obtained in 3 steps, by cyclocondensation of 2-amino-4-hydroxypyrimidine-5-carboxylic acid Et ester with 2-bromopropiophenone, followed by reduction with Zn and AcOH, and N-alkylation with 2,6-difluorobenzyl chloride. In a test for inhibition of binding of [¹²⁵I]-leuprolide at human GnRH receptors expressed in CHO cells, an exemplary compound I showed an IC₅₀ of 0.5 nM.

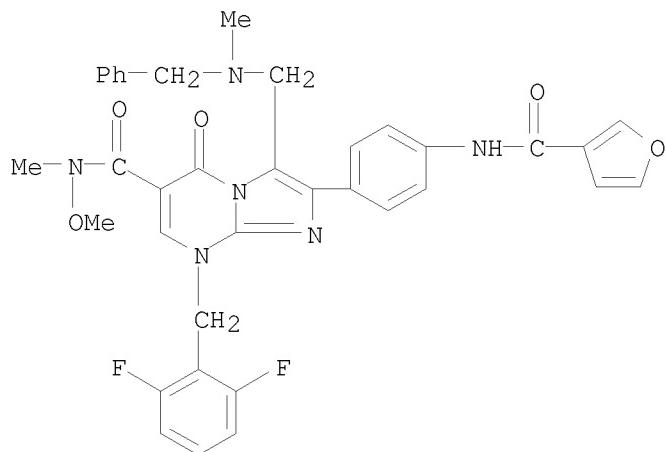
IT 229484-86-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of imidazopyrimidines as gonadotropin-releasing hormone antagonists useful for prevention and treatment of sex hormone dependent diseases and cancer)

RN 229484-86-0 HCPLUS

CN Imidazo[1,2-a]pyrimidine-6-carboxamide,
8-[{(2,6-difluorophenyl)methyl]-2-[4-[(3-furanylcarbonyl)amino]phenyl]-5,8-dihydro-N-methoxy-N-methyl-3-[[methyl(phenylmethyl)amino]methyl]-5-oxo- (CA INDEX NAME)



IT 229484-83-7P 229484-84-8P 229484-88-2P

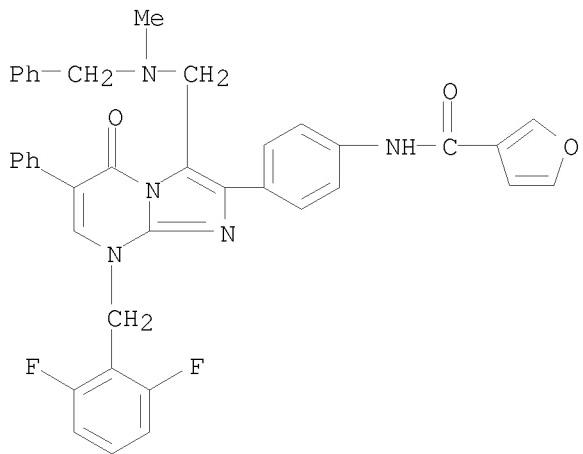
229485-03-4P 229485-15-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyrimidines as gonadotropin-releasing hormone antagonists useful for prevention and treatment of sex hormone dependent diseases and cancer)

RN 229484-83-7 HCPLUS

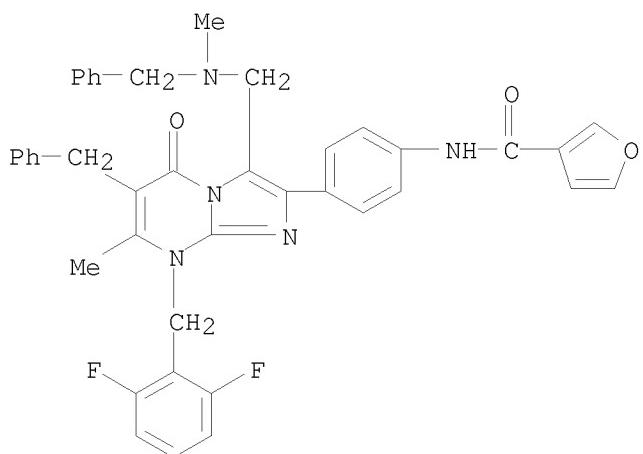
CN 3-Furancarboxamide, N-[4-[8-[(2,6-difluorophenyl)methyl]-5,8-dihydro-3-[(methyl(phenylmethyl)amino)methyl]-5-oxo-6-phenylimidazo[1,2-a]pyrimidin-2-yl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 229484-84-8 HCPLUS

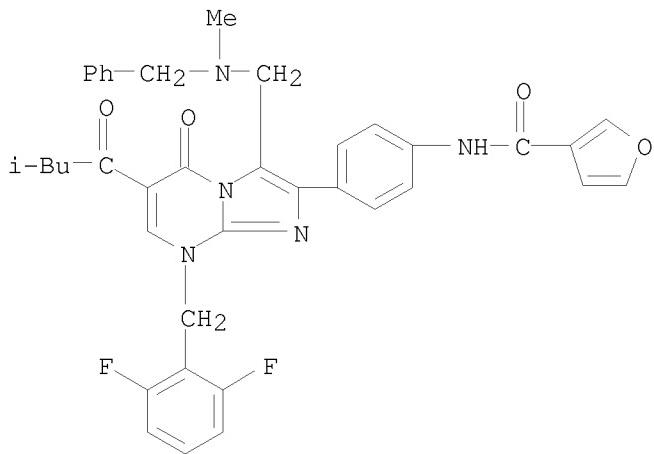
CN 3-Furancarboxamide, N-[4-[8-[(2,6-difluorophenyl)methyl]-5,8-dihydro-7-methyl-3-[(methyl(phenylmethyl)amino)methyl]-5-oxo-6-(phenylmethyl)imidazo[1,2-a]pyrimidin-2-yl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 229484-88-2 HCPLUS

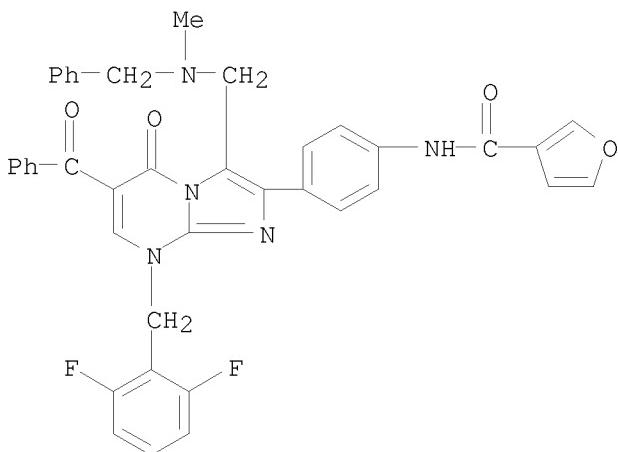
CN 3-Furancarboxamide, N-[4-[8-[(2,6-difluorophenyl)methyl]-5,8-dihydro-6-(3-methyl-1-oxobutyl)-3-[(methyl(phenylmethyl)amino)methyl]-5-oxoimidazo[1,2-a]pyrimidin-2-yl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

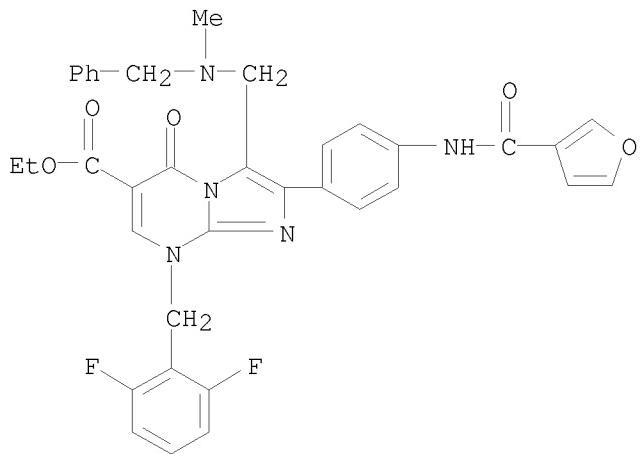
RN 229485-03-4 HCAPLUS

CN 3-Furancarboxamide, N-[4-[6-benzoyl-8-[(2,6-difluorophenyl)methyl]-5,8-dihydro-3-[[methyl(phenylmethyl)amino]methyl]-5-oxoimidazo[1,2-a]pyrimidin-2-yl]phenyl]- (CA INDEX NAME)



RN 229485-15-8 HCAPLUS

CN Imidazo[1,2-a]pyrimidine-6-carboxylic acid, 8-[(2,6-difluorophenyl)methyl]-2-[4-[(3-furanylcarbonyl)amino]phenyl]-5,8-dihydro-3-[[methyl(phenylmethyl)amino]methyl]-5-oxo-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)



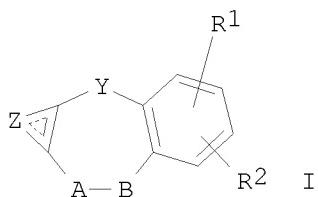
● HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

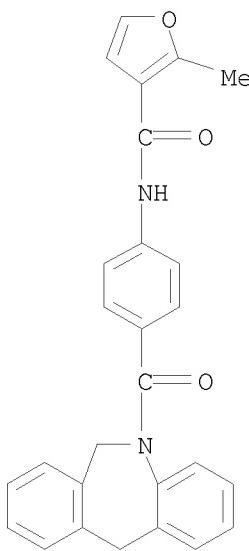
L15 ANSWER 4 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1999:104514 HCPLUS
 DOCUMENT NUMBER: 130:153583
 TITLE: Tricyclic benzazepine oxytocin and vasopressin antagonists
 INVENTOR(S): Albright, Jay Donald; Sum, Fuk-Wah
 PATENT ASSIGNEE(S): American Cyanamid Company, USA
 SOURCE: U.S., 110 pp., Cont.-in-part of U.S. Ser. No. 254,823.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5869483	A	19990209	US 1996-639014	19960424 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
US 5693635	A	19971202	US 1996-662546	19960613 <--
US 5834461	A	19981110	US 1997-874314	19970613 <--
US 5843952	A	19981201	US 1997-889858	19970708 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728
			US 1996-639014	A2 19960424
			US 1996-663400	B1 19960613

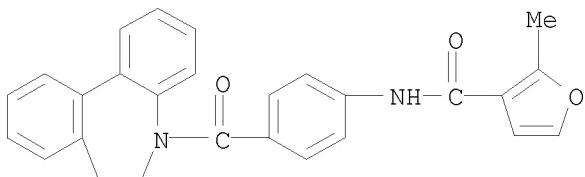
OTHER SOURCE(S): MARPAT 130:153583
 GI



- AB This invention relates to title compds. I wherein: Y = e.g., (CH₂)_n, O, S wherein n is an integer from 0-2; A-B is (CH₂)_mNR₃ or NR₃(CH₂)_m, wherein m is an integer from 1-2, provided that when Y is (CH₂)_n and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH₂)_n and n is 2, m may not also be two; R1 = e.g., H, halo, OH; R2 = e.g., H, halo, OH; R3 is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un)substituted 5-indolyl; the aromatic Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom. heterocycle, that exhibit antagonist activity at V₁ and/or V₂ receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for preparing such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (preparation given) with 4-[(2-methylbenzoyl)amino]benzoyl chloride (preparation given) afforded N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methylbenzamide which exhibited binding to rat hepatic V₁ receptors and rat kidney medullary V₂ receptors with IC₅₀ = 0.15 and 0.068 μM, resp., and oxytocin receptor binding with IC₅₀ = 2.9 μM.
- IT 169879-40-7P 220252-42-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tricyclic benzazepine oxytocin and vasopressin antagonists)
- RN 169879-40-7 HCPLUS
- CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



RN 220252-42-6 HCAPLUS
 CN 3-Furancarboxamide, N-[4-[(6,7-dihydro-5H-dibenz[b,d]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



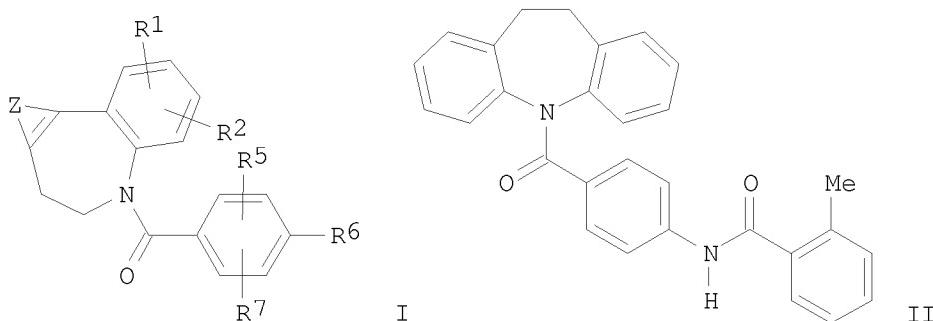
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1998:366893 HCAPLUS
 DOCUMENT NUMBER: 129:54301
 ORIGINAL REFERENCE NO.: 129:11320h,11321a
 TITLE: Preparation of tricyclic benzazepine vasopressin antagonists
 INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred
 PATENT ASSIGNEE(S): American Cyanamid Co., USA
 SOURCE: U.S., 103 pp., Cont.-in-part of U. S. 5,512,563.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5760031	A	19980602	US 1996-637911	19960425 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--

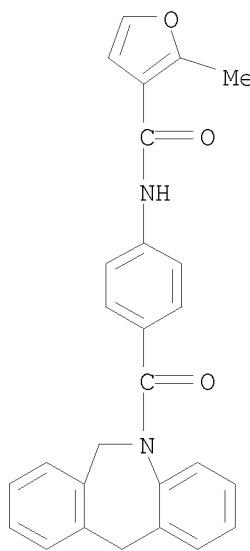
NZ 299340 A 20000825 NZ 1994-299340 19940728 <--
PRIORITY APPLN. INFO.: US 1993-100003 B2 19930729
US 1994-254823 A2 19940613
NZ 1994-264116 A1 19940728

OTHER SOURCE(S) : MARPAT 129:54301
GI



AB The title compds. [I; R1 = H, Cl, F, etc.; R2 = H, Cl, Br, etc.; R1R2 = methylenedioxy, ethylenedioxy; R5 = H, Me, Et, etc.; R6 = N(Ra)COAr', CON(Ra)Ar', etc. (Ra = H, Me, Et; Ar' = (un)substituted Ph, thiienyl, etc.); R7 = H, Me, Et, etc.; Z = (un)substituted fused oxazole, Ph], which exhibit antagonist activity at V1 and/or V2 receptors and in vivo vasopressin antagonist activity as well as antagonist activity at oxytocin receptors, and as such useful in treating diseases characterized by excess renal reabsorption of water (e.g., congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, renal vasospasm, liver cirrhosis, brain edema, cerebral ischemia, cerebral hemorrhage-stroke), were prepared Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine in pyridine at 80° for 18 h followed by the addition of NaH afforded the compound II which showed IC₅₀ of 2.5 μM against rat hepatic V1 receptor binding and IC₅₀ of 0.86 μM against rat kidney medullary V2 receptor binding.

IT 169879-40-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic benzazepine vasopressin antagonists)
RN 169879-40-7 HCPLUS
CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

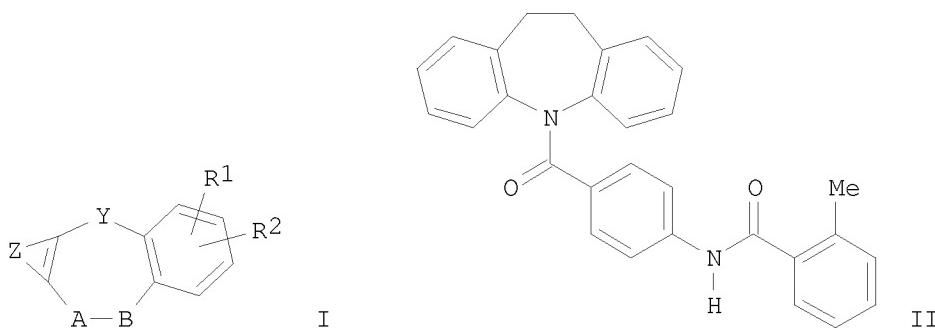


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1998:289524 HCPLUS
 DOCUMENT NUMBER: 128:321569
 ORIGINAL REFERENCE NO.: 128:63744h, 63745a
 TITLE: Preparation of tricyclic benzazepine vasopressin antagonists
 INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred
 PATENT ASSIGNEE(S): American Cyanamid Co., USA
 SOURCE: U.S., 101 pp., Cont.-in-part of U.S. Ser. No. 5,512,563.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5747487	A	19980505	US 1996-638067	19960425 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728

OTHER SOURCE(S): MARPAT 128:321569
 GI



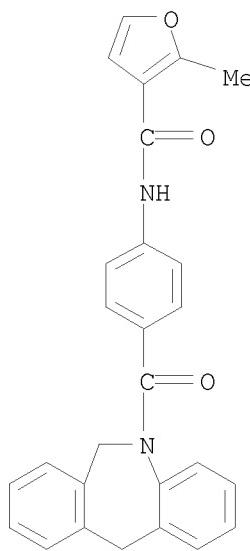
AB The title compds. [I; Y = a bond; AB = (CH₂)₂N(R₃); R₁ = H, halo, OH, etc.; R₂ = H, halo, OH, etc.; R₁R₂ = methylenedioxy, ethylenedioxy; R₃ = C(O)Ar (wherein Ar = (un)substituted Ph, thieryl, etc.); Z = (un)substituted fused benzo, thiazole, etc.], which exhibit antagonistic activity at V₁ and/or V₂ receptors, in vivo vasopressin antagonist activity, and antagonistic activity at oxytocin receptors, and therefore useful in treating diseases characterized by excess renal reabsorption of water such as congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, liver cirrhosis, brain edema, cerebral ischemia, or cerebral hemorrhage-stroke, were prepared Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine in pyridine afforded the title compound II which showed IC₅₀ of 2.5 μM against rat hepatic V₁ receptors binding and IC₅₀ of 0.86 μM against rat kidney medullary V₂ receptors binding.

IT 169879-40-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic benzazepine vasopressin antagonists)

BN 169879-40-7 HCAPLUS

RN 1950-75-40 NC-IAC-EC5
CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

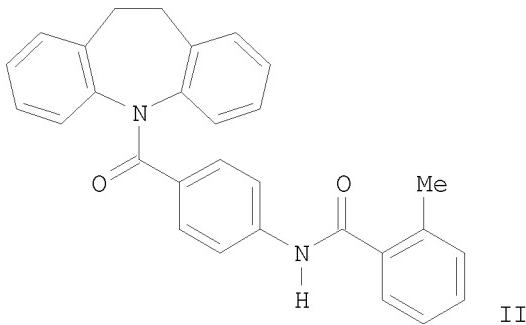
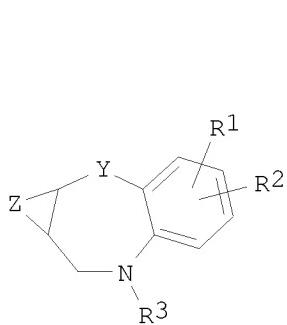


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1998:226808 HCPLUS
 DOCUMENT NUMBER: 128:282791
 ORIGINAL REFERENCE NO.: 128:55979a,55982a
 TITLE: Preparation of tricyclic benzazepine vasopressin antagonists
 INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred; Sum, Fuk-wah; Du, Xuemei
 PATENT ASSIGNEE(S): American Cyanamid Co., USA
 SOURCE: U.S., 104 pp., Cont.-in-part of U.S. 5,512,563.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5739128	A	19980414	US 1996-637058	19960424 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
US 5786353	A	19980728	US 1997-893497	19970711 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728
			US 1996-637058	A3 19960424

OTHER SOURCE(S): MARPAT 128:282791
 GI



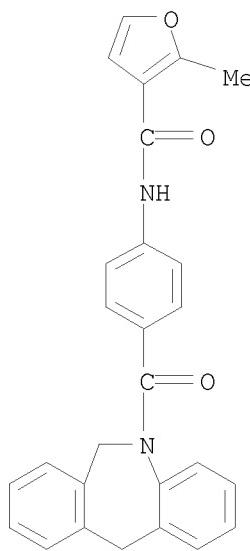
AB The title compds. [I; Z-containing ring = (un)substituted fused Ph; Y = NH, NCOMe; N(C1-3 alkyl); R1 = H, halo, OH, etc.; R2 = H, Cl, Br, I, F, OH, etc.; R1R2 = methylenedioxy, ethylenedioxy; R3 = C(O)Ar (wherein Ar = (un)substituted Ph, furanyl, thieryl, pyrrolyl)] which exhibit antagonist activity at V1 and/or V2 receptors, in vivo vasopressin antagonist activity, and antagonist activity at oxytocin receptors, and are therefore useful in treating diseases characterized by excess renal reabsorption of water, were prepared. Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of 4-(dimethylamino)pyridine and NaH in pyridine afforded compound II which showed IC₅₀ of 2.5 μM against rat hepatic V1 receptor binding and IC₅₀ of 0.86 μM against rat kidney medullary V2 receptor binding.

IT 169879-40-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic benzazepine vasopressin antagonists)

RN 169879-40-7 HCPLUS

CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)

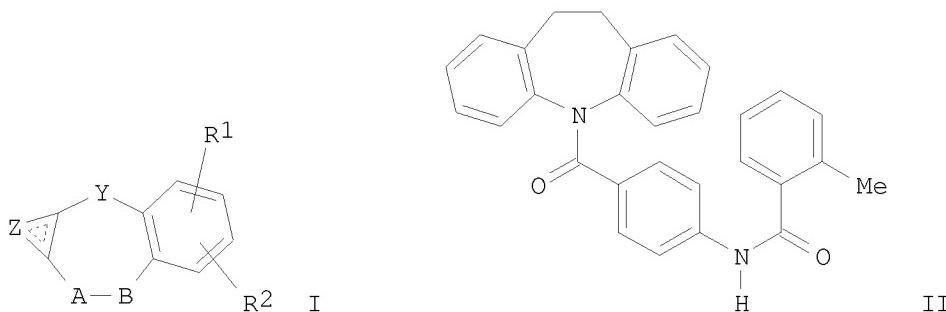


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1998:219347 HCPLUS
 DOCUMENT NUMBER: 128:257347
 ORIGINAL REFERENCE NO.: 128:50947a
 TITLE: Tricyclic benzazepine oxytocin and vasopressin antagonists
 INVENTOR(S): Albright, Jay Donald; Du, Xuemei
 PATENT ASSIGNEE(S): American Cyanamid Company, USA
 SOURCE: U.S., 109 pp., Cont.-in-part of U.S. 5,512,563.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5736538	A	19980407	US 1996-638059	19960425 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728

OTHER SOURCE(S): MARPAT 128:257347
 GI



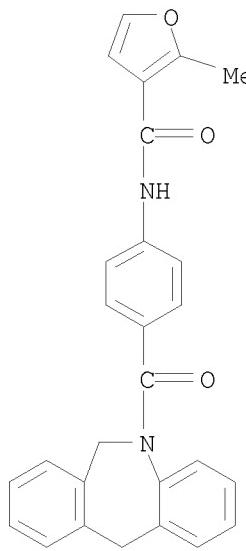
AB This invention relates to title compds. I wherein: Y = e.g., (CH₂)_n, O, S wherein n is an integer from 0-2; A-B is (CH₂)_mNR₃ or NR₃(CH₂)_m, wherein m is an integer from 1-2, provided that when Y is (CH₂)_n and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH₂)_n and n is 2, m may not also be two; R₁ = e.g., H, halo, OH; R₂ = e.g., H, halo, OH; R₃ is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un)substituted 5-indolyl; the aromatic Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom heterocycle, that exhibit antagonist activity at V₁ and/or V₂ receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for preparing such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (preparation given) with 4-[(2-methylbenzoyl)amino]benzoyl chloride (preparation given) afforded N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methylbenzamide (II) which exhibited binding to rat hepatic V₁ receptors and rat kidney medullary V₂ receptors with IC₅₀ = 0.15 and 0.068 μM, resp., and oxytocin receptor binding with IC₅₀ = 2.9 μM.

IT 169879-40-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tricyclic benzazepine oxytocin and vasopressin antagonists)

RN 169879-40-7 HCPLUS

CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



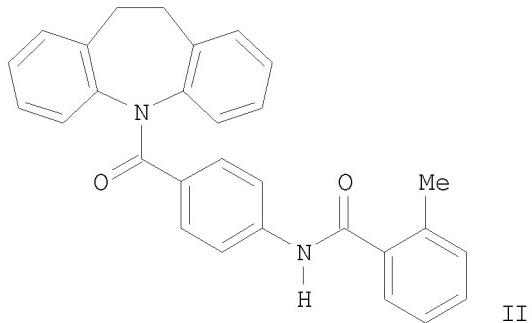
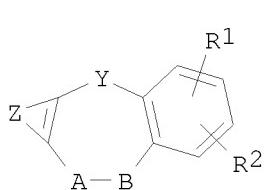
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:772293 HCPLUS
 DOCUMENT NUMBER: 128:48246
 ORIGINAL REFERENCE NO.: 128:9479a,9482a
 TITLE: Preparation of tricyclic benzazepines as vasopressin antagonists
 INVENTOR(S): Albright, Jay Donald; Reich, Marvin Fred
 PATENT ASSIGNEE(S): American Cyanamid Co., USA
 SOURCE: U.S., 103 pp., Cont.-in-part of U.S. Ser. No. 639,014.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693635	A	19971202	US 1996-662546	19960613 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
US 5869483	A	19990209	US 1996-639014	19960424 <--
WO 9747625	A1	19971218	WO 1997-US9549	19970603 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9732965	A	19980107	AU 1997-32965	19970603 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613

US 1996-639014	A2 19960424
NZ 1994-264116	A1 19940728
US 1996-662546	A 19960613
WO 1997-US9549	W 19970603

OTHER SOURCE(S): MARPAT 128:48246
GI

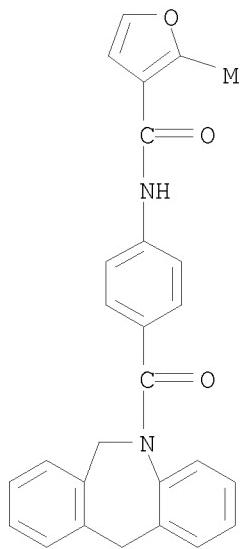


AB The title compds. [I; Y = a bond; AB= (CH₂)₂NR₃, NR₃(CH₂)₂; R₁ = H, halo, OH, etc.; R₂ = H, halo, OH, etc.; R₁R₂ = methylenedioxy, ethylenedioxy; R₃ = COAr (wherein Ar = substituted Ph); Z with two carbon atoms attached represents a (un)substituted fused thiophene ring, Ph, etc.] which exhibit antagonist activity at V₁ and/or V₂ receptors, in vivo vasopressin antagonist activity, and also antagonist activity at oxytocin receptors, and are useful in treating diseases characterized by excess renal reabsorption of water, were prepared Thus, reaction of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of NaH and 4-(dimethylamino)pyridine in pyridine afforded II which showed IC₅₀ of 2.5 μM against rat hepatic V₁ receptor binding and IC₅₀ of 0.86 μM against rat kidney medullary V₂ receptor binding.

IT 169879-40-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic benzazepines as vasopressin antagonists)

RN 169879-40-7 HCPLUS

CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



L15 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:735922 HCAPLUS
 DOCUMENT NUMBER: 128:22824
 ORIGINAL REFERENCE NO.: 128:4475a, 4478a
 TITLE: Pyridobenzoxazepine and pyridobenzothiazepine vasopressin antagonists
 INVENTOR(S): Albright, Jay Donald; Du, Xuemei
 PATENT ASSIGNEE(S): American Cyanamid Co., USA
 SOURCE: U.S., 107 pp., Cont.-in-part of U.S. 5,512,563.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5686445	A	19971111	US 1996-637908	19960425 <--
US 5512563	A	19960430	US 1994-254823	19940613 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
US 5854236	A	19981229	US 1997-834706	19970401 <--
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728
			US 1996-637908	A3 19960425

OTHER SOURCE(S): MARPAT 128:22824

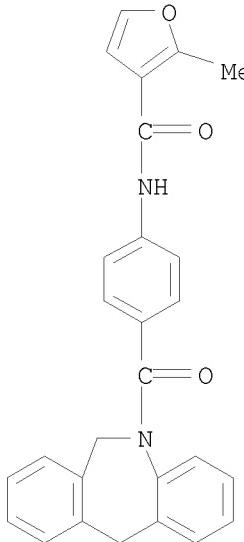
AB Approx. 80 title compds., primarily N-(substituted benzoylaminobenzoyl)dibenzazepines, were prepared by N-acylation of the azepine. E.g., acylation of 10,11-dihydro-5H-dibenz[b,f]azepine with o-MeC₆H₄CONHC₆H₄COCl-p gave N-[4-(10,11-dihydro-5H-dibenz[b,f]azepin-5-ylcarbonyl)phenyl]-2-methylbenzamide. The title compds. exhibit antagonist activity at V₁ and/or V₂ receptors and extensive data is given for vasopressin antagonist activity.

IT 169879-40-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and vasopressin antagonist activity of
 (benzoylaminobenzoyl)dibenzazepines)

RN 169879-40-7 HCPLUS

CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



L15 ANSWER 11 OF 11 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:323956 HCPLUS

DOCUMENT NUMBER: 125:86517

ORIGINAL REFERENCE NO.: 125:16313a,16316a

TITLE: Tricyclic benzazepine oxytocin and vasopressin antagonists

INVENTOR(S): Albright, Jay D.; Sum, Fuk Wah; Du, Xuemei

PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: U.S., 95 pp., Cont.-in-part of U.S. Ser. No. 100,003, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

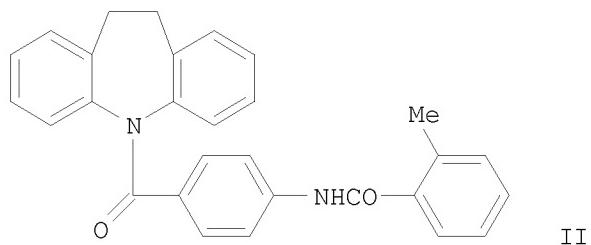
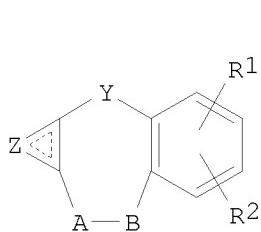
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5512563	A	19960430	US 1994-254823	19940613 <--
EP 640592	A1	19950301	EP 1994-111040	19940715 <--
EP 640592	B1	19981230		
R: AT, BE, CH, AT 175198 ES 2125377 SK 281194	DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE T 19990115 T3 19990301 B6 20010118		AT 1994-111040 ES 1994-111040 SK 1994-880	19940715 <-- 19940715 <-- 19940720 <--

IL 110436	A	20031210	IL 1994-110436	19940725 <--
FI 9403542	A	19950130	FI 1994-3542	19940728 <--
FI 108433	B1	20020131		
NO 9402817	A	19950130	NO 1994-2817	19940728 <--
NO 308601	B1	20001002		
AU 9468776	A	19950209	AU 1994-68776	19940728 <--
AU 676737	B2	19970320		
ZA 9405604	A	19950309	ZA 1994-5604	19940728 <--
JP 07179430	A	19950718	JP 1994-195886	19940728 <--
JP 3630449	B2	20050316		
HU 71548	A2	19951228	HU 1994-2223	19940728 <--
HU 221017	B1	20020729		
RU 2149160	C1	20000520	RU 1994-27580	19940728 <--
NZ 299340	A	20000825	NZ 1994-299340	19940728 <--
CN 1106802	A	19950816	CN 1994-108768	19940729 <--
CN 1064354	C	20010411		
PL 181918	B1	20011031	PL 1994-304496	19940729 <--
TW 402592	B	20000821	TW 1994-83108599	19940916 <--
US 5739128	A	19980414	US 1996-637058	19960424 <--
US 5869483	A	19990209	US 1996-639014	19960424 <--
US 5686445	A	19971111	US 1996-637908	19960425 <--
US 5736538	A	19980407	US 1996-638059	19960425 <--
US 5747487	A	19980505	US 1996-638067	19960425 <--
US 5760031	A	19980602	US 1996-637911	19960425 <--
US 5693635	A	19971202	US 1996-662546	19960613 <--
US 5854236	A	19981229	US 1997-834706	19970401 <--
US 5834461	A	19981110	US 1997-874314	19970613 <--
US 5843952	A	19981201	US 1997-889858	19970708 <--
US 5786353	A	19980728	US 1997-893497	19970711 <--
HK 1011362	A1	20010727	HK 1998-112373	19981127 <--
FI 2001001100	A	20010525	FI 2001-1100	20010525 <--
FI 111077	B1	20030530		
FI 2001001101	A	20010525	FI 2001-1101	20010525 <--
FI 111075	B1	20030530		
FI 2001001102	A	20010525	FI 2001-1102	20010525 <--
FI 111076	B1	20030530		
PRIORITY APPLN. INFO.:			US 1993-100003	B2 19930729
			US 1994-254823	A2 19940613
			NZ 1994-264116	A1 19940728
			US 1996-637058	A3 19960424
			US 1996-639014	A2 19960424
			US 1996-637908	A3 19960425
			US 1996-663400	B1 19960613

OTHER SOURCE(S):
GI

MARPAT 125:86517



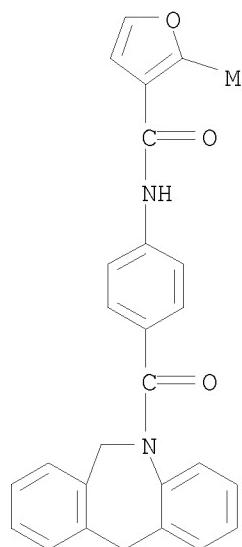
AB This invention relates to title compds. I wherein: Y = e.g., (CH₂)_n, O, S wherein n is an integer from 0-2; A-B is (CH₂)_mR₃ or NR₃(CH₂)_m, wherein m is an integer from 1-2, provided that when Y is (CH₂)_n and n=2, m may also be zero and when n is zero, m may also be three, provided also that when Y is (CH₂)_n and n is 2, m may not also be two; R₁ = e.g., H, halo, OH; R₂ = e.g., H, halo, OH; R₃ is the moiety COAr where Ar is selected from, e.g., substituted Ph, (un)substituted 5-indolyl; the aromatic Z ring represents, e.g., fused (un)substituted Ph, 5- or 6-membered atom. heterocycle, that exhibit antagonist activity at V₁ and/or V₂ receptors and exhibit in vivo vasopressin antagonist activity, methods for using such compds. in treating diseases characterized by excess renal reabsorption of water, and processes for preparing such compds. I are also antagonists of the peptide hormone oxytocin and are useful in the control of premature birth. Thus, e.g., acylation of 6,11-dihydro-5H-dibenz[b,e]azepine (preparation given) with 4-[(2-methylbenzoyl)amino]benzoyl chloride (preparation given) afforded N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methylbenzamide (II) which exhibited binding to rat hepatic V₁ receptors and rat kidney medullary V₂ receptors with IC₅₀ = 0.15 and 0.068 μM, resp., and oxytocin receptor binding with IC₅₀ = 2.9 μM.

IT 169879-40-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tricyclic benzazepine oxytocin and vasopressin antagonists)

RN 169879-40-7 HCPLUS

CN 3-Furancarboxamide, N-[4-[(6,11-dihydro-5H-dibenz[b,e]azepin-5-yl)carbonyl]phenyl]-2-methyl- (CA INDEX NAME)



=> LOG Y

10576095

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	70.71	471.03
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-8.80	-12.80

STN INTERNATIONAL LOGOFF AT 14:15:00 ON 27 OCT 2008